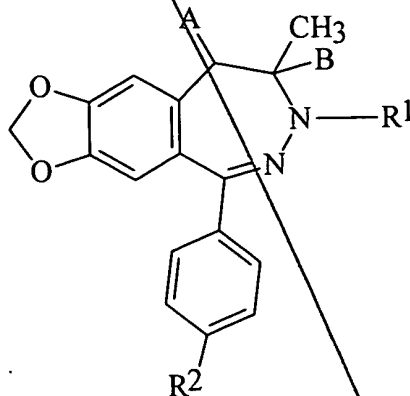


Claim 1. (Amended) A 1,3-dioxolo-[4,5-h][2,3]benzodiazepine

compound of the formula I



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R¹ stands for a group of the formula

-(CH₂)_n-CO-(CH₂)_m-R, wherein

R represents a halo atom, a pyridyl group or a group of the formula -NR³R⁴, wherein

R³ and R⁴ mean, independently, a hydrogen atom, a C₃₋₆ cycloalkyl group, a C₁₋₄ alkoxy group, an amino group, a phenyl group optionally substituted by one or two C₁₋₄ alkyl group(s), a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and

B'
 C'

comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C_{1-4} alkoxy group, or R^3 and R^4 form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C_{1-4} alkoxy group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, or A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R^1 represents a group of the formula $-CO-(CH_2)_p-R^6$, wherein R^6 stands for a halo atom, a phenoxy group, a C_{1-4} alkoxy group or a group of the formula $-NR^7R^8$, wherein

B'
C'
Cont

~~R⁷ and R⁸ mean, independently, a hydrogen atom, a guanyl group, a C₃₋₆ cycloalkyl group or a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C₁₋₄ alkoxy group, or~~

~~R⁷ and R⁸ form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C₁₋₄ alkyl) group or~~

B'
C'
cont

a phenoxy(C₁₋₄ alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C₁₋₄ alkoxy group, and, in case of the phenoxy(C₁₋₄ alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R² stands for a nitro group, an amino group or a (C₁₋₄ alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R² stands for an amino group and p has a value of 0, then R⁶ is different from a C₁₋₄ alkoxy group,
- 2) if A forms together with B a valence bond, R² stands for an amino group, p has a value of 0 or 1, and R⁶ represents a group of the formula -NR⁷R⁸, then one of R⁷ and R⁸ is different from a hydrogen atom or a C₁₋₄ alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R³ and

B'
C'
cont

- ~~R⁴ represents a hydrogen atom, and the other of R³ and R⁴ is different from a hydrogen atom or a C₁₋₄ alkyl group, and~~
- 4) ~~if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R³ and R⁴ stands for a hydrogen atom or a C₁₋₄ alkyl group, then the other of R³ and R⁴ is different from a hydrogen atom or a C₁₋₄ alkyl group,~~

~~and pharmaceutically suitable acid addition salts thereof.~~

~~Claim 2. (Amended) A 1,3-dioxolo-[4,5-h][2,3] benzodiazepine compound as claimed in Claim 1, wherein~~

~~A represents a hydrogen atom,~~

~~B means a hydrogen atom,~~

~~R¹ stands for a group of the formula~~

~~-(CH₂)_n-CO-(CH₂)_m-R, wherein~~

~~R represents a chloro atom, a pyridyl group or a group of the formula -NR³R⁴, wherein~~

~~R³ and R⁴ mean, independently, a hydrogen atom, a cyclopropyl group, a C₁₋₄ alkoxy group, an amino group, a phenyl group optionally substituted by~~

B'
C'
cont

one or two methyl group(s), or a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and the heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 methoxy groups, or

R³ and R⁴ form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2,

R² stands for a nitro group or an amino group, with the proviso that

- 1) if n and m have a value of 0, then one of R³ and R⁴ represents a hydrogen atom, and the

B1
C1
cont

other of R³ and R⁴ is different from a hydrogen atom or a C₁₋₄ alkyl group, and

- 2) if n have a value of 0, m has a value of 1 or 2, and one of R³ and R⁴ stands for a hydrogen atom or a C₁₋₄ alkyl group, then the other of R³ and R⁴ is different from a hydrogen atom or a C₁₋₄ alkyl group,

and pharmaceutically suitable acid addition salts thereof.

Claim 3. (Amended) A 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 2, wherein

R³ and R⁴ represent, independently, a hydrogen atom, a cyclopropyl group, a methoxy group, an amino group, a dimethylaminophenyl group or a C₁₋₂ alkyl group which latter is substituted by a phenyl, morpholino or piperazinyl group, wherein the piperazinyl group is substituted by a methoxyphenyl group, or

R³ and R⁴ form, together with the adjacent nitrogen atom and optionally a further nitrogen atom or oxygen atom, an imidazolyl, morpholino or piperazinyl group, wherein the

B' piperazinyll group is substituted by a methoxyphenyl group,

n has a value of 0 or 1,

m has a value of 0 or 1,

R² stands for a nitro group or an amino group,

A represents a hydrogen atom,

B means a hydrogen atom, with the proviso that

- 1) if n and m have a value of 0, then one of R³ and R⁴ represents a hydrogen atom, and the other of R³ and R⁴ is different from a hydrogen atom, and
- 2) if n has a value of 0, m has a value of 1 or 2, and one of R³ and R⁴ stands for a hydrogen atom, then the other of R³ and R⁴ is different from a hydrogen atom,

and a pharmaceutically suitable acid addition salts thereof.

Claim 4. (Amended) A 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 3, wherein

R³ represents a hydrogen atom,

R⁴ stands for a cyclopropyl group, a methoxy group or an amino group,

n has a value of 0,

m has a value of 0,

B¹
R² means an amino group,

A represents a hydrogen atom,

B means a hydrogen atom,

and pharmaceutically suitable acid addition salts thereof.

Sub C²
Claim 5. (Amended) A 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 1, wherein in formula I

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R¹ represents a group of the formula

-CO-(CH₂)_p-R⁶, wherein

R⁶ stands for a halo atom, a phenoxy group, a C₁₋₄ alkoxy group or a group of the formula -NR⁷R⁸, wherein

R⁷ and R⁸ mean, independently, a hydrogen atom, a guanyl group, or a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a morpholino group, wherein the phenyl group is optionally substituted by one or two C₁₋₂ alkoxy group(s), or

B1
C2
cont.

R^7 and R^8 form together with the adjacent nitrogen atom an oxopyrrolidinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 2 identical or different substituents(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C_{1-4} alkyl) group or a phenoxy(C_{1-4} alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by a halo atom or a C_{1-4} alkoxy group,

p has a value of 0, 1 or 2,

R^2 stands for a nitro group or an amino group, with the proviso that

- 1) if A forms together with B a valence bond, R^2 stands for an amino group and p has a value of 0, then R^6 is different from a C_{1-4} alkoxy group,
- 2) if A forms together with B a valence bond, R^2 stands for an amino group, p has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one

B1
C2
cont
of R⁷ and R⁸ is different from a hydrogen atom or a C₁₋₄ alkyl group, and pharmaceutically suitable acid addition salts thereof.

Claim 6. (Amended) A 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 5, wherein
A forms together with B a valence bond between the carbon atoms in positions 8 and 9,
R² represents a nitro group or an amino group,
R¹ stands for a group of the formula
-CO-(CH₂)_p-R⁶, wherein
R⁶ means a chloro atom, a phenoxy group, or a group of the formula -NR⁷R⁸, wherein
R⁷ and R⁸ represent, independently, a hydrogen atom, a guanyl group or a C₁₋₃ alkyl group optionally substituted by a phenyl group, a dimethoxyphenyl group or a morpholino group, or
R⁷ and R⁸ form with the adjacent nitrogen atom, an oxopyrrolindinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and

C2 B1
cont.

said heterocyclic group is optionally substituted by one or two identical or different substituent(s) selected from the group consisting of a hydroxy group, a methoxyphenyl group, a fluorophenyl group, a benzyl group or a (methoxy-phenoxy)-(hydroxypropyl) group,

p has a value of 0, 1 or 2, with the proviso that if A forms together with B a valence bond, R² stands for an amino group, p has a value of 0 or 1, and R⁶ represents a group of the formula -NR⁷R⁸, then one of R⁷ and R⁸ is different from a hydrogen atom or a C₁₋₃ alkyl group, and pharmaceutically suitable acid addition salts thereof.

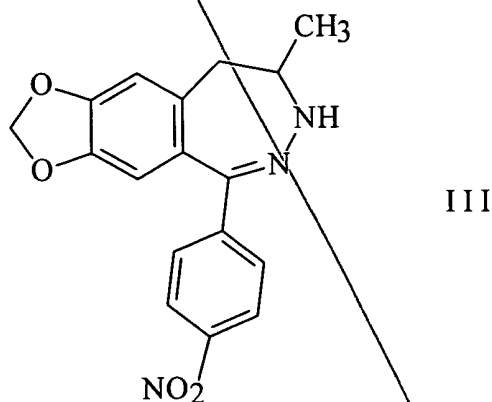
Claim 7. (Amended) A 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound as claimed in Claim 6, wherein R² represents an amino group, R¹, A and B are as defined in Claim 6, and pharmaceutically suitable acid addition salts thereof.

Sub F2

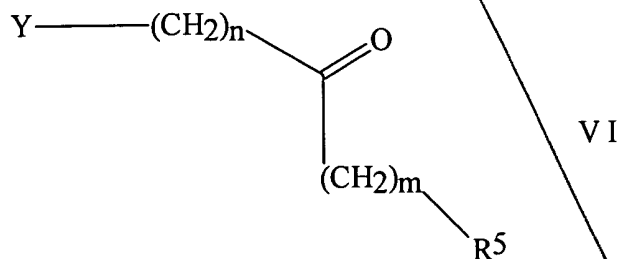
Claim 8. (Amended) A process for the preparation of a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,

wherein R^1 and R^2 are as defined in Claim 1, and pharmaceutically suitable acid addition salts thereof, characterized in that

a) for the preparation of a compound of the formula I, wherein R^1 represents a group of the formula $-(CH_2)_n-CO-(CH_2)_m-R$, wherein R stands for a halo atom or a pyridyl group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, R^2 means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III



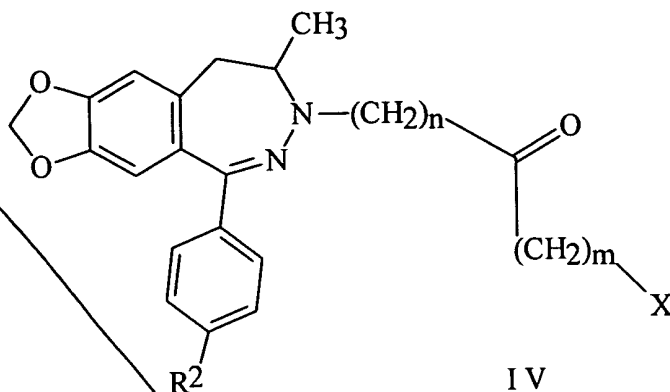
is reacted with a reagent of the formula VI



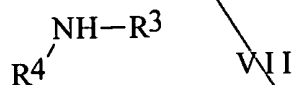
wherein Y represents a leaving group, R^5 is a halo atom or a pyridyl group; or

Sub F2
b) for the preparation of a compound of the formula I, wherein R^1 represents a group of the formula $-(CH_2)_n-CO-(CH_2)_m-R$, wherein R stands for an imidazolyl group, n has a value of 0, m has a value of 0, R^2 means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III is reacted with 1,1'-carbonyldiimidazole; or

c) for the preparation of a compound of the formula I, wherein R^1 represents a group of the formula $-(CH_2)_n-CO-(CH_2)_m-R$, wherein R stands for a group of the formula $-NR^3R^4$, wherein R^3 , R^4 , n and m are as defined in Claim 1, R^2 means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III is reacted with a reagent of the formula VI, wherein Y and R^5 represent, independently, a leaving group, n and m are as stated above, and the obtained benzodiazepine compound of the formula IV



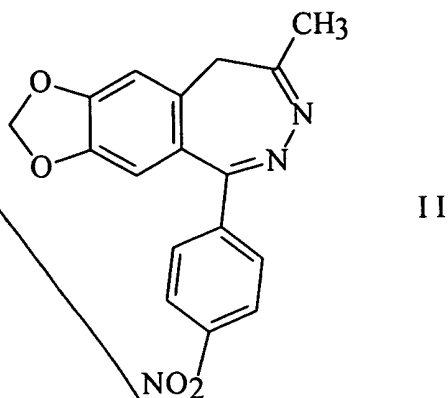
wherein X stand for a leaving group, n and m are as stated above,
is reacted with an amine of the formula VII



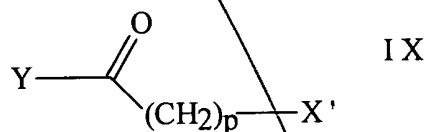
wherein R^3 and R^4 are as stated above; or

d) for the preparation of a compound of the formula I,
wherein R^1 stands for a group of the formula $-\text{CO}-(\text{CH}_2)_p-\text{R}^6$, wherein
 R^6 represents a halo atom, a phenoxy group or a C_{1-4} alkoxy group, p
has a value of 0, 1 or 2, A forms together with B a valence bond,
 R^2 means a nitro group, the 8-methyl-5-(4-nitrophenyl)-9H-1,3-
dioxolo[4,5-h][2,3]benzodiazepine of the formula II

~~B~~
Sub
F2

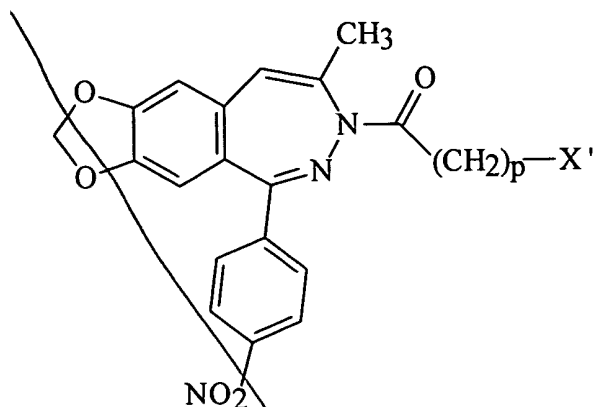


is reacted with an acylating agent of the formula IX



wherein Y represents a leaving group, X' stands for a halo atom, a phenoxy group or a C₁₋₄ alkoxy group, p has a value of 0, 1 or 2; or

e) for the preparation of a compound of the formula I, wherein R¹ stands for a group of the formula -CO-(CH₂)_p-R⁶, wherein R⁶ represents a group of the formula -NR⁷R⁸, wherein R⁷, R⁸ and p are as defined in Claim 1, A forms together with B a valence bond, R² means a nitro group, the 8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula II is reacted with an acylating agent of the formula IX, wherein each of Y and X' represents, independently, a leaving group, p is as stated above, and the obtained acylated compound of the formula VIII



wherein X' and p are as defined above, is reacted with an amine of the formula HNR^7R^8 , wherein R^7 and R^8 are as stated above;

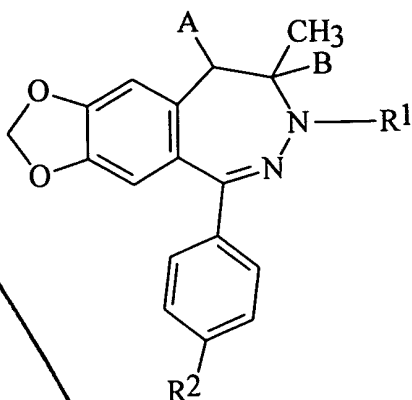
and, optionally the compound of the formula I, wherein R^2 represents a nitro group, R^1 , A and B are as defined in Claim 1, is transformed into a compound of the formula I, wherein R^2 stands for an amino group, by reduction;

and, optionally the compound of the formula I, wherein R^2 represents an amino group, R^1 , A and B are as defined in Claim 1, is reacted with a C_{1-4} alkanecarboxylic acid or a reactive acylating salt thereof;

and, optionally, a base of the formula I is converted to a pharmaceutically suitable acid addition salt or liberated from the acid addition salt.

Claim 9. (Amended) A pharmaceutical composition comprising a

1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R¹ stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R³ and R⁴ mean, independently, a hydrogen atom, a C₃₋₆ cycloalkyl group, a C₁₋₄ alkoxy group, an amino group, a phenyl group optionally substituted by one or two C₁₋₄ alkyl group(s), a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and

B¹
C³
C⁴

said heterocyclic group is optionally substituted
 by a phenyl group which latter is optionally
 substituted by 1 to 3 substituent(s), wherein the
 substituent consists of a C₁₋₄ alkoxy group, or
 R³ and R⁴ form, with the adjacent nitrogen atom and
 optionally with a further nitrogen atom or an
 oxygen atom, a saturated or unsaturated
 heterocyclic group having 5 or 6 members, being
 optionally substituted by a phenyl group that is
 optionally substituted by 1 to 3 substituents,
 wherein the substituent is a C₁₋₄ alkoxy group,
 n has a value of 0, 1 or 2,
 m has a value of 0, 1 or 2, or
 A forms together with B a valence bond between the
 carbon atoms in positions 8 and 9, and in this case
 R¹ represents a group of the formula
 $\text{-CO-(CH}_2\text{)}_p\text{-R}^6$, wherein
 R⁶ stands for a halo atom, a phenoxy group, a C₁₋₄
 alkoxy group or a group of the formula $\text{-NR}^7\text{R}^8$,
 wherein
 R⁷ and R⁸ mean, independently, a hydrogen atom, a
 guanyl group, a C₃₋₆ cycloalkyl group or a C₁₋₄

B1
C3
Cont

alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C₁₋₄ alkoxy group, or

R⁷ and R⁸ form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group which latter is optionally substituted, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C₁₋₄ alkyl) group or a phenoxy(C₁₋₄ alkyl) group, wherein in case of the substituents listed the phenyl

B'
C3
cont

or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C₁₋₄ alkoxy group, and, in case of the phenoxy(C₁₋₄ alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R² stands for a nitro group, an amino group or a (C₁₋₄ alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R² stands for an amino group and p has a value of 0, then R⁶ is different from a C₁₋₄ alkoxy group,
- 2) if A forms together with B a valence bond, R² stands for an amino group, p has a value of 0 or 1, and R⁶ represents a group of the formula -NR⁷R⁸, then one of R⁷ and R⁸ is different from a hydrogen atom or a C₁₋₄ alkyl group,
- 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R³ and R⁴ represents a hydrogen atom, and the other of

B¹
C³
C^{nt}

- ~~R³ and R⁴ is different from a hydrogen atom or a C₁₋₄ alkyl group, and~~
- 4) ~~if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R³ and R⁴ stands for a hydrogen atom or a C₁₋₄ alkyl group, then the other of R³ and R⁴ is different from a hydrogen atom or a C₁₋₄ alkyl group,~~

~~or a pharmaceutically suitable acid addition salt thereof as the active ingredient and one or more conventional carrier(s).~~

Claim 10. (Amended) A pharmaceutical composition as claimed in Claim 9 comprising a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R¹ stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a chloro atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

B¹
C³
cont

~~R³ and R⁴ mean, independently, a hydrogen atom, a cyclopropyl group, a C₁₋₄ alkoxy group, an amino group, a phenyl group optionally substituted by one or two methyl group(s), or a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 methoxy groups, or~~

~~R³ and R⁴ form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 methoxy groups,~~

~~n has a value of 0, 1 or 2,~~

~~m has a value of 0, 1 or 2,~~

~~R² stands for a nitro group or an amino group, with the proviso that~~

- B1
C3
cont
- 1) if n and m have a value of 0, then one of R³ and R⁴ represents a hydrogen atom, and the other of R³ and R⁴ is different from a hydrogen atom or a C₁₋₄ alkyl group, and
 - 2) if n have a value of 0, m has a value of 1 or 2, and one of R³ and R⁴ stands for a hydrogen atom or a C₁₋₄ alkyl group, then the other of R³ and R⁴ is different from a hydrogen atom or a C₁₋₄ alkyl group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

Claim 11. (Amended) A pharmaceutical composition as claimed in Claim 10 comprising a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

R³ and R⁴ represent, independently, a hydrogen atom, a cyclopropyl group, a methoxy group, an amino group, a dimethylaminophenyl group, or a C₁₋₂ alkyl group which latter is substituted by a phenyl, morpholino or piperazinyl group, wherein the piperazinyl group is substituted by a methoxyphenyl group, or

B1
~~R³ and R⁴ form, together with the adjacent nitrogen atom and optionally a further nitrogen atom or oxygen atom, an imidazolyl, morpholino or piperazinyl group, wherein the piperazinyl group is substituted by a methoxyphenyl group,~~

~~n has a value of 0 or 1,~~

~~m has a value of 0 or 1,~~

~~R² stands for a nitro group or an amino group,~~

~~A represents a hydrogen atom,~~

~~B means a hydrogen atom, with the proviso that~~

~~1) if n and m have a value of 0, then one of R³ and R⁴ represents a hydrogen atom, and the other of R³ and R⁴ is different from a hydrogen atom, and~~

~~2) if n has a value of 0, m has a value of 1 or 2, and one of R³ and R⁴ stands for a hydrogen atom, then the other of R³ and R⁴ is different from a hydrogen atom,~~

~~or a pharmaceutically suitable acid addition salt thereof as the active ingredient.~~

B¹ Claim 12. (Amended) A pharmaceutical composition as claimed in Claim 11 comprising a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

R³ represents a hydrogen atom,

R⁴ stands for a cyclopropyl group, a methoxy group or an amino group,

n has a value of 0,

m has a value of 0,

R² means an amino group,

A represents a hydrogen atom,

B means a hydrogen atom,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

and C⁴ Claim 13. (Amended) A pharmaceutical composition as claimed in Claim 9 comprising an 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R¹ represents a group of the formula

-CO-(CH₂)_p-R⁶, wherein

B'
C4
cont

R^6 stands for a halo atom, a phenoxy group, a C_{1-4} alkoxy group or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 mean, independently, a hydrogen atom, a guanyl group, or a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a morpholino group, wherein the phenyl group is optionally substituted by one or two C_{1-2} alkoxy group(s), or

R^7 and R^8 form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 2 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl (C_{1-4} alkyl) group or a phenoxy (C_{1-4} alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by a halo atom or a C_{1-4} alkoxy group,

p has a value of 0, 1 or 2,

R² stands for a nitro group or an amino group, with the proviso that

1) if A forms together with B a valence bond, R² stands for an amino group and p has a value of 0, then R⁶ is different from a C₁₋₄ alkoxy group,

2) if A forms together with B a valence bond, R² stands for an amino group, p has a value of 0 or 1, and R⁶ represents a group of the formula -NR⁷R⁸, then one of R⁷ and R⁸ is different from a hydrogen atom or a C₁₋₄ alkyl group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

Claim 14. (Amended) A pharmaceutical composition as claimed in Claim 13 comprising an 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

A forms together with B a valence bond between the carbon atoms in positions 8 and 9,

R² represents a nitro group or an amino group,

R¹ stands for a group of the formula

-CO-(CH₂)_p-R⁶, wherein

R^6 means a chloro atom, a phenoxy group, or a group of the formula $-NR^7R^8$, wherein R^7 and R^8 represent, independently, a hydrogen atom, a guanlyl group or a C_{1-3} alkyl group optionally substituted by a phenyl group, a dimethoxyphenyl group or a morpholino group, or R^7 and R^8 form with the adjacent nitrogen atom, an oxopyrrolindinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by one or two identical or different substituent(s) selected from the group consisting of a hydroxy group, a methoxyphenyl group, a fluorophenyl group, a benzyl group or a (methoxy-phenoxy)-(hydroxypropyl) group,

p has a value of 0, 1 or 2, with the proviso that if A forms together with B a valence bond, R^2 stands for an amino group, p has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-3} alkyl group,

B1
C4
G4

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

Claim 15. (Amended) A pharmaceutical composition as claimed in Claim 14 comprising an 8-methyl-7H-1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I, wherein

R¹ stands for a group of the formula

-CO-(CH₂)_p-R⁶, wherein

R⁶ means of chloro atom, a phenoxy group, or a group of the formula -NR⁷R⁸, wherein

R⁷ and R⁸ represent, independently, a hydrogen atom, a guanyl group, or a C₁₋₃ alkyl group optionally substituted by a phenyl group or a morpholino group, wherein the phenyl group, a dimethoxyphenyl group or a morpholino group, or

R⁷ and R⁸ form with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group or a saturated heterocyclic group having 5 or 6 members and comprising one or two nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 2 identical or different substituent (s)

B'
selected from the group consisting of a hydroxy group, a methoxyphenyl group, a fluorophenyl group, a benzyl group or a (methoxyphenoxy)-(hydroxypropyl) group,

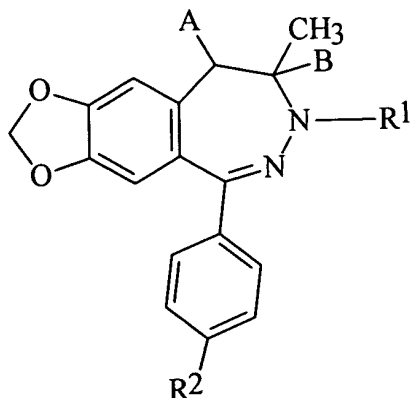
A represents a hydrogen atom,

B represents a hydrogen atom and A forms together with B a valence bond between the carbon atoms in positions 8 and 9

R² represents an amino group,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient.

45
Claim 16. (Amended) A method of treatment in which a patient suffering from epilepsy or being in a state after stroke is treated with a non-toxic dose of a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R¹ stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R³ and R⁴ mean, independently, a hydrogen atom, a C₃₋₆ cycloalkyl group, a C₁₋₄ alkoxy group, an amino group, a phenyl group optionally substituted by one or two C₁₋₄ alkyl group(s), a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C₁₋₄ alkoxy group, or R³ and R⁴ form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an

B'
CS-

oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C₁₋₄ alkoxy group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, or A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case R¹ represents a group of the formula -CO-(CH₂)_p-R⁶, wherein R⁶ stands for a halo atom, a phenoxy group, a C₁₋₄ alkoxy group or a group of the formula -NR⁷R⁸, wherein R⁷ and R⁸ mean, independently, a hydrogen atom, a guanyl group, a C₃₋₆ cycloalkyl group or a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally

substituted by 1 to 3 identical or different
substituent(s), wherein the substituent is a
C₁₋₄ alkoxy group, or

B1
C5
cont

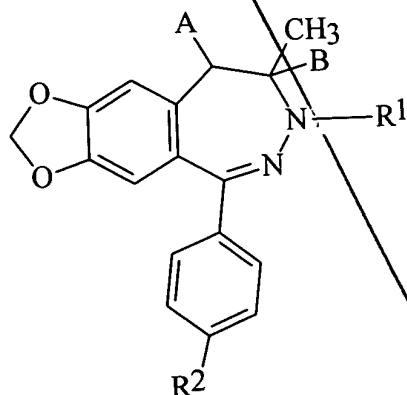
R⁷ and R⁸ form together with the adjacent nitrogen
atom, an oxopyrrolidinyl group, a phthalimido
group, or a saturated heterocyclic group
having 5 or 6 members and comprising one or
more nitrogen atom(s) or a nitrogen and an
oxygen atom as the heteroatom, and said
heterocyclic group is optionally substituted
by 1 to 3 identical or different
substituent(s) selected from the group
consisting of a hydroxy group, a phenyl group,
a phenoxy group, a phenyl(C₁₋₄ alkyl) group or
a phenoxy(C₁₋₄ alkyl) group, wherein in case of
the substituents listed the phenyl or phenoxy
group is optionally substituted by 1 to 3
identical or different substituent(s), wherein
the substituent is a halo atom or a C₁₋₄ alkoxy
group, and, in case of the phenoxy(C₁₋₄ alkyl)
group, the alkyl group is optionally
substituted by 1 or 2 hydroxy group(s),

- B1*
CS
cont
- p has a value of 0, 1 or 2,
- R^2 stands for a nitro group, an amino group or a (C_{1-4} alkanoyl)amino group, with the proviso that
- 1) if A forms together with B a valence bond, R^2 stands for an amino group and p has a value of 0, then R^6 is different from a C_{1-4} alkoxy group,
 - 2) if A forms together with B a valence bond, R^2 stands for an amino group, p has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,
 - 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group, and
 - 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-4} alkyl group, then the other of R^3 and

R^4 is different from a hydrogen atom or a C_{1-4} alkyl group,

or a pharmaceutically suitable acid addition salt thereof.

Claim 17. (Amended) A process for preparing a pharmaceutical composition suitable for the treatment of epilepsy or a state after stroke, characterized in that a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,



wherein

A represents a hydrogen atom,

B means a hydrogen atom,

R^1 stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of

the formula $-NR^3R^4$, wherein

B'
C5
cont

~~R³ and R⁴ mean, independently, a hydrogen atom, a C₃₋₆ cycloalkyl group, a C₁₋₄ alkoxy group, an amino group, a phenyl group optionally substituted by one or two C₁₋₄ alkyl group(s), a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent consists of a C₁₋₄ alkoxy group, or R³ and R⁴ form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C₁₋₄ alkoxy group,~~

n has a value of 0, 1 or 2,
m has a value of 0, 1 or 2, or

B1
C5
cont

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R¹ represents a group of the formula

$-\text{CO}-(\text{CH}_2)_p-\text{R}^6$, wherein

R⁶ stands for a halo atom, a phenoxy group, a C₁₋₄ alkoxy group or a group of the formula $-\text{NR}^7\text{R}^8$, wherein

R⁷ and R⁸ mean, independently, a hydrogen atom, a guanyl group, a C₃₋₆ cycloalkyl group or a C₁₋₄ alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C₁₋₄ alkoxy group, or

R⁷ and R⁸ form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or

B'
C5
cont

more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C₁₋₄ alkyl) group or a phenoxy(C₁₋₄ alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C₁₋₄ alkoxy group, and, in case of the phenoxy(C₁₋₄ alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R² stands for a nitro group, an amino group or a (C₁₋₄ alkanoyl)amino group, with the proviso that

- 1) if A forms together with B a valence bond, R² stands for an amino group and p has a value of 0, then R⁶ is different from a C₁₋₄ alkoxy group,

- B
CS
cont
- 2) if ~~A~~ forms together with B a valence bond, R^2 stands for an amino group, p has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,
 - 3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group, and
 - 4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-4} alkyl group, then the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group,

or a pharmaceutically suitable acid addition salt thereof, together with one or more conventional carrier(s), is converted to a pharmaceutical composition.
